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(54) PHOSPHONIC ACID DIESTER DERIVATIVE

(57) Abstract:

PURPOSE: To obtain the novel compound useful as a therapeutic agent for hyperlipemia, cataract, diabetes, etc., having hypolipidemic action, hypoglycemic action, etc., by reacting a phosphorylmethylbenzoic acid with an amino nitrogen- containing heterocyclic compound.

CONSTITUTION: A benzoic acid derivative of formula I (R^4 and R^5 are lower alkyl or phenyl lower alkyl; X is halogen) {e.g. 4-[(diethoxyphosphoryl) methyl]benzoyl chloride} is reacted with an amine of formula II [A is group of the formula CR^1=N (R^1 is H, alkyl, phenyl, halogen, etc.) or group of the formula CR^1=CR^2 (R^2 is as shown for R^1); R^3 is H, lower alkyl or phenyl; Z is S, O or NR^6 (R^6 is lower alkylphenyl)] (e.g. 2-amino-4-phenylthiazole) in dichloromethane in the presence of pyridine under cooling with ice to obtain the objective phosphonic acid diester derivative of formula III useful as a therapeutic agent for hyperlipemia, cataract, diabetes, etc.

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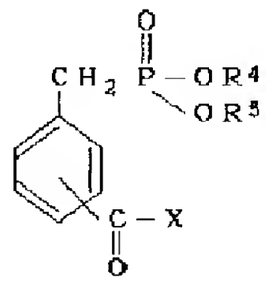
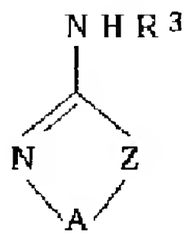
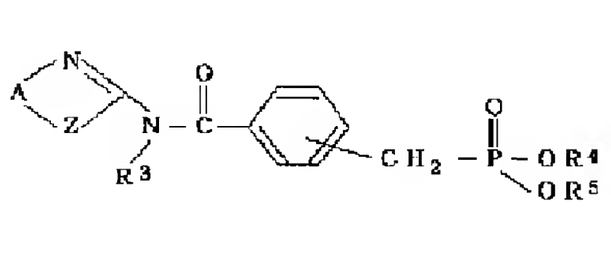


I



II



III